Application No.: 09/582,442

$$R_{1}$$
 R_{2}
 R_{3}
 R_{6}
 R_{7}
 R_{7}
 R_{1}
 R_{1}
 R_{2}
 R_{3}
 R_{4}
 R_{5}
 R_{8}
 R_{9}
 R_{9}
 R_{1}

wherein

at least one of G_1 , G_2 , G_3 and G_4 is N and the remaining are independently CH or N;

X is CH or N;

Y is N;

 Z_1 is a group represented by the formula $-SO_2-$;

 Z_2 is a single bond;

Q is an aryl group being unsubstituted or substituted with 1 to 4 substituents selected from the group consisting of the Group B or a lower alkyl group that may be substituted by a desired number of substituents of Group B, wherein Group B is:

- a halogen atom,
- a trifluoromethyl group,
- a trifluoromethanesulfonyl group,
- a carbamoyl group,
- an amino group,
- a cyano group,
- a nitro group,
- a lower alkanoyl group,

- a lower alkoxyl group,
- a lower alkoxycarbonyl group,
- a mono- or di-substituted lower alkylamino group,
- a lower alkanoylamino group,
- a cyclic amino group,
- a mercapto group,
- a lower alkylthio group,
- a lower alkylsulfonyl group,
- a hydroxyl group or a mono- or di-substituted lower alkylaminocarbonyl group,
 - an amidino group,
 - a group of the formula $-NHCR_{13}-NHR_{14}$

wherein R_{13} is an optionally

cyano-substituted imino group or a group = $CHNO_2$; R_{14} is a hydrogen atom or a methyl group,

- a phenyl group,
- a heteroaryl group,
- a heteroaryloxy group, or
- or a group represented by heteroary1-S(0)t,

wherein t is an integer of 0 - 2,

the heteroaryl group of group B is a 5- or 6-membered aromatic monocyclic group containing not more than four oxygen atoms, sulfur atoms or nitrogen atoms, provided that

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all aromatic rings of Group B may be mono-, di-, or trisubstituted by any substituent of Group C, wherein Group C is a halogen atom, a hydroxyl group, an amino group, a mono- or di-substituted lower alkylamino group, a cyclic amino group, a mono- or di-substituted lower alkylaminocarbonyl group, a lower alkyl group, a lower alkoxy group or R_1 is any substituent selected from group A wherein Group A is a hydrogen atom, a halogen atom, a trifluoromethyl group, a carbamoyl group, an amino group, a cyano group, a nitro group, a lower alkanoyl group, a lower alkoxy group,

a lower alkoxycarbonyl group,

- a mono- or di-substituted lower alkylamino group,
- a cyclic amino group,
- a lower alkanoylamino group,
- a phenyl group,
- a benzoyl group,
- a mercapto group,
- a lower alkylthio group,
- a hydroxyl group or
- a mono- or di-substituted lower alkylamino- carbonyl group, R_1 may also be an oxygen atom that forms a N-oxide group with N in any one of G_1 G_4 , or a lower alkyl group, a lower alkoxy group or a lower alkenyl group that may be substituted with a desired number of substituents selected from
 - a hydrogen atom,
 - a halogen atom,
 - an amino group,
 - a cyano group,
 - a lower alkoxy group,
 - a mono- or di-substituted lower alkylamino group,
 - a lower alkanoylamino group, or
 - a hydroxyl group;

one of R_2 , R_3 , R_4 , R_5 is hydrogen and the remaining are selected from a lower alkoxycarbonyl group, an optionally

mono- or di-lower alkyl substituted carbamoyl group, an N-phenylcarbamoyl group or a group represented by the formula $-\text{CONH}(\text{CH}_2)_P S(0)_q R_{10}$ or $-\text{CONH}(\text{CH}_2)_r N R_{11} R_{12}$, or a lower alkyl group that may be substituted by R_{15} ;

 R_6 forms a carbonyl group with the carbon atom on the ring to which it is attached;

each of R_7 , R_8 and R_9 is a hydrogen atom, a lower alkoxycarbonyl group, an optionally mono- or di-lower alkyl substituted carbamoyl group, an N-phenylcarbamoyl group or a group represented by the formula $-\text{CONH}(\text{CH}_2)_P S(O)_q R_{10}$ or $-\text{CONH}(\text{CH}_2)_r N R_{11} R_{12}$, or a lower alkyl group that may be substituted by R_{15} ;

each of R_{10} , R_{11} and R_{12} independently represents a hydrogen atom, a lower alkyl group, a phenyl group or a lower alkylphenyl group;

 R_{15} is a carboxyl group, a hydroxyl group, or an amino group;

m and n are independently an integer of 0-3,

p is an integer of 0-4,

q is an integer of 0-2, and

r is an integer of 1-4;

provided that if any one of the substituents R_2 , R_3 , R_4 , R_5 , R_7 , R_8 , or R_9 includes a cyclic group, such cyclic group may be substituted by one or two lower alkyl groups.

- 21. (New) A compound according to claim 20, wherein Q is an aryl group optionally substituted with a halogen atom.
- 22. (New) A compound according to claim 20, wherein n is an integer of 1-3.
- 23. (New) A compound according to claim 20, wherein X is CH.

24. (New) A compound, which is

4-(6-chloronaphthalene-2-sulfonyl)-1-[1-(4-pyridyl)piperidin-4-ylmethyl]-2-piperazinone, or a salt thereof.

- 25. (New) A method for
- (a) producing the compound as claimed in claim 20 or a salt thereof by reacting a compound of the formula:

$$W - Z_1 - Z_2 - Q$$

wherein

W is a halogen atom, and

 $Z_1,\ Z_2$ and Q are as defined in claim 20 or a salt thereof;

with a compound of the formula:

$$R_2$$
 R_3
 R_6
 R_7
 R_7
 R_{1}
 R_{2}
 R_{3}
 R_{4}
 R_{5}
 R_{7}
 R_{1}
 R_{2}
 R_{7}
 R_{7}
 R_{7}
 R_{7}
 R_{7}
 R_{8}
 R_{9}

wherein

X, Y, R_1 - R_9 , G_1 - G_4 , m and n are as defined in claim 20; or a salt thereof;

(b) producing the compound as claimed in claim 20 or a salt thereof by reacting a compound or a salt thereof represented by the formula:

$$R_6$$
 R_7
 $N-Z_1-Z_2-Q$
 R_8
 R_9

wherein R_6 - R_9 , Z_1 , Z_2 , and Q are as defined in claim 20; with a compound or a salt thereof represented by the formula:

$$R_2$$
 R_3
 G_1
 G_4
 R_4
 R_5
 R_5

wherein W' is a halogen atom, or a methanesulfonyloxy group or a p-toluenesulfonyloxy group, or an exchangeable substituent selected from an alcohol and alkoxy group, and X, R_1 - R_5 , G_1 - G_4 , m and n are as defined in claim 20;

(c) producing a compound or a salt thereof as claimed in claim 20 represented by the formula:

wherein Z_1 , Z_2 , Q, X, R_1 - R_5 , R_7 - R_9 , G_1 - G_4 , m and n are as defined in claim 20,

by subjecting a compound or a salt thereof represented by the formula:

$$R_{2}$$
 R_{3}
 R_{2}
 R_{3}
 R_{4}
 R_{5}
 R_{8}
 R_{8}
 R_{9}
 R_{7}
 R_{7}
 R_{7}
 R_{7}
 R_{7}
 R_{7}
 R_{8}
 R_{9}

wherein,

W' is a halogen atom, or a methanesulfonyloxy group or a p-toluenesulfonyloxy group, or an exchangeable substituent selected from an alcohol and alkoxy group, and

 Z_1 , Z_2 , Q, X, R_1 - R_5 , R_7 - R_9 , G_1 - G_4 , m and n are as defined above, to ring closure reaction; or

(d) producing the compound as claimed in claim 20 or a salt thereof by reacting a compound or a salt thereof represented by the formula:

wherein Q, Z_1 , Z_2 , X, $R_2\text{-}R_9$, and n are as are as defined in claim 20,

with a compound or a salt thereof represented by the formula:

$$G_2=G_3$$
 G_1
 $G_2=G_3$
 G_4
 G_4

wherein W' is a halogen atom, or a methanesulfonyloxy group or a p-toluenesulfonyloxy group, or an exchangeable substituent selected from an alcohol and alkoxy group, and R_1 , G_1 - G_4 , and m are as defined in claim 20.

26. (New) A pharmaceutical composition comprising the compound as claimed in claim 20 or a salt thereof;

and a binder, a disintegrating agent, a lubricant, or a sweetener.

- 27. (New) A composition of claim 26, which is an anti-coagulant.
- 28. (New) A composition of claim 26, which is an inhibitor of activated coagulation factor X.
- 29. (New) A composition of claim 26, which is for the treatment of myocardial infarction, cerebral thrombosis or deep vein thrombosis.
- 30. (New) A pharmaceutical composition comprising a compound of claim 24 or a salt thereof; and a binder, a disintegrating agent, a lubricant, or a sweetener.
- 31. (New) A method of using the compound as claimed in claim 20 or a salt thereof for manufacturing an anti-coagulant.

- 32. (New) A method of using the compound as claimed in claim 20 or a salt thereof for manufacturing an inhibitor of activated coagulation factor X.
- 33. (New) A method of using the compound as claimed in claim 20 or a salt thereof for manufacturing a pharmaceutical composition for the treatment of myocardial infarction, cerebral thrombosis or deep vein thrombosis.
- 34. (New) A method for inhibiting coagulation in a mammal which comprises administering to said mammal an effective amount of the compound as claimed in claim 20 or a salt thereof.
- 35. (New) A method for inhibiting activated coagulation factor X in a mammal which comprises administering to said mammal an effective amount of the compound as claimed in claim 20 or a salt thereof.
- 36. (New) A method for treating myocardial infarction, cerebral thrombosis or deep vein thrombosis in a mammal comprising administering to said mammal an effective amount of the compound as claimed in claim 20 or a salt thereof.

- 37. (New) A method of using a compound of claim 24 or a salt thereof for manufacturing an anti-coagulant.
- 38. (New) A method of using a compound of claim 24 or a salt thereof for manufacturing an inhibitor of activated coagulation factor X.
- 39. (New) A method of using a compound of claim 24 or a salt thereof for manufacturing a pharmaceutical composition for the treatment of myocardial infarction, cerebral thrombosis or deep vein thrombosis.
- 40. (New) A method for inhibiting coagulation in a mammal which comprises administering to said mammal an effective amount of a compound of claim 24 or a salt thereof.
- 41. (New) A method for inhibiting activated coagulation factor X in a mammal which comprises administering to said mammal an effective amount of a compound of claim 24 or a salt thereof.

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42. (New) A method for treating myocardial infarction, cerebral thrombosis or deep vein thrombosis in a mammal comprising administering to said mammal an effective amount of a compound as claimed in claim 24 or a salt thereof.--